EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	988	548/540.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2008/01/18 14:10
L2	2446	514/423.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2008/01/18 14:10
L3	140	I1 and I2	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2008/01/18 14:16

1/18/2008 2:45:06 PM Page 1

STN Structure Search Registry Caphy 10/565, 294

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LOGINID:SSPTAJMN1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
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       AUG 06
                 CAS REGISTRY enhanced with new experimental property tags
     3 AUG 06
                 FSTA enhanced with new thesaurus edition
NEWS
     4 AUG 13
                 CA/CAplus enhanced with additional kind codes for granted
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                 patents
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     6 AUG 27
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
         AUG 27
                 USPATOLD now available on STN
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                 CAS REGISTRY enhanced with additional experimental
         AUG 28
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                 spectral property data
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                 STN AnaVist, Version 2.0, now available with Derwent
                 World Patents Index
NEWS 10
         SEP 13
                 FORIS renamed to SOFIS
                 INPADOCDB enhanced with monthly SDI frequency
NEWS 11
         SEP 13
                 CA/CAplus enhanced with printed CA page images from
NEWS 12
         SEP 17
                 1967-1998
         SEP 17
                 CAplus coverage extended to include traditional medicine
NEWS 13
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         SEP 24
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 14
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NEWS 15
         OCT 02
                 Zentralblatt
         OCT 19
                 BEILSTEIN updated with new compounds
NEWS 16
         NOV 15
                 Derwent Indian patent publication number format enhanced
NEWS 17
                WPIX enhanced with XML display format
NEWS 18
        NOV 19
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NEWS 19 NOV 30
NEWS 20 DEC 04
                LINPADOCDB now available on STN
NEWS 21 DEC 14
                 BEILSTEIN pricing structure to change
                 USPATOLD added to additional database clusters
NEWS 22 DEC 17
NEWS 23 DEC 17
                 IMSDRUGCONF removed from database clusters and STN
                 DGENE now includes more than 10 million sequences
NEWS 24
         DEC 17
                 TOXCENTER enhanced with 2008 MeSH vocabulary in
         DEC 17
NEWS 25
                 MEDLINE segment
                 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
         DEC 17
NEWS 26
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 27
         DEC 17
         DEC 17
                 STN Viewer enhanced with full-text patent content
NEWS 28
                 from USPATOLD
                 STN pricing information for 2008 now available
NEWS 29
         JAN 02
                 CAS patent coverage enhanced to include exemplified
NEWS 30
         JAN 16
                 prophetic substances
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NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

01/18/2008 10/565,294

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=> fil req

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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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17 JAN 2008 HIGHEST RN 1000264-70-9 STRUCTURE FILE UPDATES: DICTIONARY FILE UPDATES: 17 JAN 2008 HIGHEST RN 1000264-70-9

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chain nodes :
7 14 15 16 17 18 19 25 26 27 28
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 20 21 22 23 24
chain bonds :
1-14 4-7 7-8 7-16 11-15 16-17 16-18 18-19 18-20 22-27 22-28 24-25 24-26

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 20-21 20-24
21-22 22-23 23-24
exact/norm bonds :
16-17 18-19 18-20 20-21 20-24 21-22 22-23 23-24
exact bonds :
1-14 4-7 7-8 7-16 11-15 16-18 22-27 22-28 24-25 24-26
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:05:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED

9 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

9 TO 360

PROJECTED ANSWERS:

0 TO

L2

O SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 13:05:12 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 202 TO ITERATE

100.0% PROCESSED 202 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

L3 3 SEA SS

3 SEA SSS FUL L1

=> fil caplus

10/565,294 01/18/2008

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 178.36 178.57

FULL ESTIMATED COST

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=> s 13

L4 4 L3

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Page 6

(Continued)

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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:945768 CAPLUS

DOCUMENT NUMBER: 145:328394

Roflumilast for the treatment of diabetes mellitus
Roflumilast for the treatment of diabetes
 DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                         PATENT NO.
                                                                                                                                                                                                       KIND
                                                                                                                                                                                                                                                          DATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                DATE
                                                                  ENT NO. KIND DATE APPLICATION NO. DATE

20060914942

N: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BB, BR, BW, BY, BZ, CA, CN, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, FG, FH, FL, PT, RO, RU, SC, SD, SE, SI, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CH, CG, CI, CM, GA, GN, CQ, GW, ML, MR, NE, SN, TD, TG, BW, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, ZM, AA, AZ, BY, KG, KZ, MD, RU, TJ, TM

APPLIN. INFO:: EFP 2005-101780 A 20050308
                                         WO 2006094942
                                                                                                                                                                                                                                                                                                                                                        EP 2005-101780
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      A 20050308
   PRIORITY APPLN. INFO.:
                                     The invention discloses the use of Roflumilast and/or Roflumilast-N-Oxide for the treatment of diabetes mellitus and accompanying disorders
                                     The invention addnl. discloses combinations of Roflumilast and/or
Roflumilast-N-Oxide with other active agents for the treatment of
                                   Rofiumilast-N-Oxide with other active agents for the treatment of etes mellitus.
483369-38-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Rofiumilast for treatment of diabetes mellitus and accompanying disorders, and combinations with other agents)
483369-38-0 CAPLUS
2-Pyrrolidinecarbonitrile, 1-[(2S)-2-amino-3,3-bis(4-fluorophenyl)-1-oxopropyl)-4-fluoro-, (2S,43)- (CA INDEX NAME)
```

```
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS ON STN ACCESSION NUMBER: 2006:944442 CAPLUS DOCUMENT NUMBER: 145:328392 Roflum:1---
                                            Roflumilast for the treatment of diabetes mellitus
                                            accompanying disorders, and combinations with other
                                           accompanying disorders, and combinations with other agents Kley, Hana-Peter; Hanauer, Guido; Hauser, Daniela; Schmidt, Beate: Bradenbroeker, Dirk; Wurst, Wilhelm; Kemkowski, Joerg Altana Pharma AG, Germany PCT Int. Appl., 71pp.
CODEN: PIXXD2
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
                                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      NO 2007-4943
KR 2007-722434
IN 2007-MN1586
EP 2005-101772
KR 2007111539
IN 2007MN01586
PRIORITY APPLN. INFO.:
                                                       20071121
20071109
                                                                                                               20071003
A 20050308
                                                                             WO 2006-EP60418
                                                                                                               w 20060303
AB The invention relates to the use of Roflumilast and/or Roflumilast-N-Oxide for the treatment of diabetes mellitus and accompanying disorders thereof.
```

oof.
The invention addni. relates to combinations of Roflumilast and/or
Roflumilast-N-Oxide with other active agents for the treatment of

Absolute stereochemistry.

Roflumilast-N-Oxide with the diabetes mellitus.

IT e8369-58-0, DENAGLIPTIN RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Roflumilast for treatment of diabetes mellitus and accompanying diaorders, and combinations with other agents)

RN 483369-58-0 CAPLUS

Searched by

Searched by Jason M. Nolan, Ph.D.

THERE ARE 14 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
2-Pyrrolidinecarbonitrile, 1-[(28)-2-amino-3,3-bis(4-fluorophenyl)-1oxopropyl]-4-fluoro-, (28,48)- (CA INDEX NAME) Absolute stereochemistry. REFERENCE COUNT: THIS THERE ARE 13 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

L4 ANSWER 3 OF 4
ACCESSION NUMBER:
DOCUMENT NUMBER:
142:197669
A preparation of alanylpyrrolidinecerbonitrile
derivative and its anhydrous crystalline forms
INVENTOR(S):
Igo, David H.; Johnson, Paul R.; Patterson, Daniel INVENTOR(S): E.; Randhawa, Amarjit Sab SmithKline Beecham Corporation, USA PCT Int. Appl., 37 pp. CODEN: PIXXD2 westout All. PATENT ASSIGNEE (S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English PATENT NO. DATE APPLICATION NO. KIND AND DATE APPLICATION NO.

A1 20050203 W0 2004-U23263
A1, AM, AT, AU, AZ, BA, BB, BG, BR, BW, CR, CU, CZ, DE, DK, DM, DZ, EC, ZE, EG, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MR, FG, HR, TT, TZ, UA, UG, US, UZ, VC, VN, GK, KZ, LS, WM, MZ, NA, SD, SI, SZ, TZ, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, TR, BF, BJ, CP, CG, CI, CM, AG, GN, GQ, TG

A1 20050203 AU 2004-250241 20040719
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MZ, NA, NI,
SK, SL, SY,
ZA, ZM, ZW
ZM, ZW, AM,
CZ, DE, DK,
PT, RO, SE,
ML, MR, NE, WO 2005009956

W: AE, AG,
CN, CO,
GE, GH,
LK, LR,
NO, N2,
TJ, TM,
AZ, BY,
EE, ES,
SI, SK,
SN, TD,
AU 2004259741
CA 2532397
EP 1654226
R: AT, BE, 20050203 AU 2004-259741 20040719
20050203 CA 2004-2592397 20040719
DK, ES, FR, GB, GR, IT, LI, LU, NL, SZ, MC, PT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
20060926 BR 2004-12763 20040719
20061025 CN 2004-80027093 20040719
20070621 ID 2006-20180 20040719
20070621 IN 2006-20180 20040719
20070322 US 2006-27727 20060112
20070322 US 2006-27727 20060112
20060127 10 2006-399 20060125 A1 A1 A1 EP 1654226

R: AT, BE, CH,
IE, SI, LT,
BR 2004012763
CN 1852893
JP 2006528187
IN 2006KN00096 DE, LV, A T A A 20060112 20060119 20060120 20060125

20060217

2001-488902P

WO 2004-US23263

W 20040719

MX 2006PA00725 US 2007066677 NO 2006000399

PRIORITY APPLN. INFO.:

GI

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN . (Continued)

AB The invention relates to a preparation of alanylpyrrolidinecarbonitrile derivative

I and its anhydrous crystalline forms, useful as serine proteases inhibitors. For instance, I=p-TsOH (pKi > 5.0) was prepared via amidation of N-[[(1,1-dimethylethyl)oxy]carbonyl]-4-fluoro-β-(4-fluorophenyl)-L-phenylalanine by (2s,4s)-4-fluoropyrrolidine-2-carbonitrile p-toluenesulfonic acid.

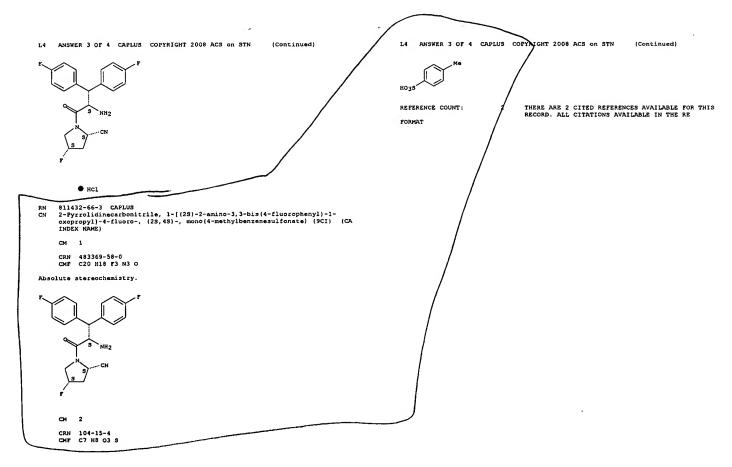
IT 483367-65-3P 811432-66-3P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation) of alanylpyrrolidinecarbonitrile derivative and its anhydrous crystallines are in protease inhibitor)

RN 483367-65-3 CAPLUS

RN 493367-65-3 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(2S)-2-amino-3,3-bis(4-fluorophenyl)-1-oxopyropyl]-4-fluoro-, monchydrochloride, (2s,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



```
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:90071
ITITLE:
INVENTOR(S):
Haffner, Curt Dale: McDougald, Darryl Lynn; Randhawa,
Amarjit Sab; Reister, Steven Michael; Lenhard, James
Martin
PATENT ASSIGNEE(S):
SOURCE:
PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

18:90071
Preparation of fluoropyrrolidinecarbonitrile
derivatives es dipeptidyl peptidase inhibitors
deri
          FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                                                                                         KIND DATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            APPLICATION NO.
                                                                                PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           DATE
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	WO 2003002531				A2	20030109			- 1	MO	2002-	US20	20020626							
	WO	2003	0025	31		A3	- 1	2003	0403	-										
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		R:										, IT,		LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI	, RO,	MK,	CY,	AL	, TR								
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		2006				A		2006	1109		J₽	2006- 2006-	1771	93		2	0060	627		
\		2007				A		2007	0315		JP	2006-	2977	13		. 2	0061	101		
(10	RIT	APP	IN.	INFO	. :						US	2001-	3013	33P		P 2	0010	627		

Absolute stereochemistry.

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

● HC1

483369-58-0 CAPLUS 2-Pyrrolidinecarbonitrile, 1-[(25)-2-amino-3,3-bis(4-fluorophenyl)-1-oxopropyl)-4-fluoro-, (23,43)- (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)
US 2002-376015P P 20020426 CN 2002-812736 A3 20020626 EP 2002-756329 JP 2003-508714 OTHER SOURCE(S): MARPAT 138:90071 Novel compds. I (X = H or F; R1, R2 = (un)substituted (hetero)aryl; R3 = or alkyl; or R1, R2 = H, alkyl or CR1R2 is a ring system; R3 = (CH2)0-5-Y-(CH2)0-12-R4, where Y = S(0)0-2, O, alk(en)(yn)ylene or a R4 = (un) substituted (cyclo) elkyl, (hetero) aryl, etc.; for Y = SO or SO2, R4 may also be an amino group or hydroxy] were prepared for inhibiting serine protesses such as dipeptidyl peptidases (e.g., DPP-IV). Thus, (25,48)-1-[(25)-2-amino-3,3-bis(4-fluorophenyl)propanoyl]-d-fluoropyrrolidine-2-carbonitrile hydrochloride, prepared via acylation of (25,48)-4-fluoro-2-pyrrolidinecarbonitrile tosylate, showed IC50 = 22 nM for inhibition of human DPP-IV (vs. 151 nM for the analog which is not fluorinated at the pyrrolidine ring).
483367-65-3P 483369-58-0P RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
[preparation of fluoropyrrolidinecarbonitrile derivs. as dipeptidy] (Uses)
(preparation of fluoropyrrolidinecarbonitrile derivs. as dipeptidyl poptidase inhibitors)
483367-65-3 CAPLUS
2-Pyrrolidinecarbonitrile, 1-[(25)-2-amino-3,3-bis(4-fluorophenyl)-1-exopropyl)-4-fluoro-, monohydrochloride, (25,45)- (9CI) (CA INDEX NAME)

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:09:52 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -1 TO ITERATE

100.0% PROCESSED

1 ITERATIONS

O ANSWERS

SEARCH TIME: 00.00.01 FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH

COMPLETE

PROJECTED ITERATIONS:

1 TO

PROJECTED ANSWERS:

0 TO

0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 13:09:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

58 TO ITERATE

100.0% PROCESSED

58 ITERATIONS

SEARCH TIME: 00.00.01

3 SEA SSS FUL L1

=>

L3

L2

Searched by Jason M. Nolan, Ph.D.

3 ANSWERS

Same as before